STM-Structure Scarch 11/29/05

10/518,206

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:490290 CAPLUS

DOCUMENT NUMBER: 143:32320

TITLE: Carvedilol salts and solvates and corresponding

> compositions for treatment of cardiovascular diseases Brook, Christopher S.; Chen, Pingyun Y.; Chen, Wei; Dai, Qunying; Dell'Orco, Philip C.; Hisler, Claire; Igo, David H.; Katrincic, Lee M.; Labaw, Clifford S.; Louvet, Ann Marie; Oh, Choon K.; Ping, Li-Jen; Spoors,

Paul G.; Wang, Jun; Werner, Christopher

PATENT ASSIGNEE(S):

SB Pharmco Puerto Rico Inc., USA

SOURCE:

PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND							D :	DATE			APPL	ICAT	DATE						
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1	WO 2005051383				A1		20050609			WO 2	004-1	20041124							
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ĖŠ,	FI,	GB,	GD,	
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			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,																
											ΑT,								
			EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	
			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
			NE.	SN.	TD.	TG												•	

PRIORITY APPLN. INFO.:

US 2003-524921P P 20031125

The present invention relates to a salt of carvedilol and/or corresponding solvates thereof, compns. containing such carvedilol and/or corresponding solvates thereof, and/or methods of using the aforementioned compound(s) in the treatment of certain disease states in mammals, in particular man. The present invention further relates to carvedilol phosphate salts, and/or solvates thereof, which include a novel crystalline form of carvedilol dihydrogen phosphate, and/or carvedilol hydrogen phosphate, and/or other corresponding solvates thereof, compns. containing these carvedilol salts and/or solvates, and methods of using these compds. to treat hypertension, congestive heart failure, angina, etc. Thus, carvedilol dihydrogen phosphate hemihydrate Form I was prepared from a reaction mixture of carvedilol and H3PO4 in acetone by adding seeds of carvedilol dihydrogen phosphate. Also, the pharmacokinetic study in dogs showed that oral bioavailability from carvedilol base in the small intestine is constrained by its low solubility at neutral pH. When oral units were introduced to the stomach, the low gastric pH can be expected to facilitate dissoln. and absorption but this will not be the case in the more neutral small intestine or beyond. Thus, salts of carvedilol (carvedilol hydrobromide, phosphate and citrate) were formulated by using conventional (non-solubilizing) excipients such that drug did not become available until units were beyond the gastric milieu. Drug administered in salt form was rapidly and more completely absorbed than the free base form.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2004:20485 CAPLUS

10/518,206

DOCUMENT NUMBER:

140:82264

TITLE:

Crystalline form of carvedilol

hydrobromide for cardiovascular therapy

INVENTOR (S):

Chen, Pingyun Y.; Dai, Qunying; Dell'orco, Phillip C.;

Hisler, Claire; Igo, David H.; Katrincic, Lee M.;

Labaw, Clifford S.; Ping, Li-jen

PATENT ASSIGNEE(S):

SB Pharmco Puerto Rico Inc., P. R.

SOURCE:

PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					KIND DATE			APPLICATION NO.									
	WO 20	WO 2004002472										20030627					
	WO 20	WO 2004002472				C1 20050224											
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			GE,														
			MK,														
			VN,													•	•
	R	W: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	KG,
			MD,														
		FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
	CA 24	AA 20040108				(CA 2	003-	2492	20030627							
	EP 1539140					A1 20050615			. 1	EP 2	003-	7621	20030627				
	R	: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			SI,														
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	US 20	052613	A1 20051124			1	US 20	004-	5182	20041216							
PRIC	RITY A	PPLN.					Ţ	US 20	002-	3923	74P	1	P 2	0020	627		
							•		1	WO 2	003-1	JS20:	346	Ţ	W 2	0030	627

AB The present invention relates to a salt of carvedilol, corresponding compns. containing such a carvedilol salt or corresponding solvates thereof, and/or methods of using the aforementioned compound(s) in the treatment of certain disease states in mammals, in particular man. The present invention further relates to a novel crystalline form of carvedilol hydrobromide, which is the hydrobromide salt of 1-(carbazol-4-yloxy)-3-[[2-(methoxyphenoxy)ethyl]amino]-2-propanol, and/or other carvedilol solvates thereof, compns. containing salts or solvates of carvedilol hydrobromide, and methods of using the aforementioned compound(s) to treat hypertension, congestive heart failure, and angina, etc.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 15:54:07 ON 29 NOV 2005)

FILE 'CAPLUS' ENTERED AT 15:54:21 ON 29 NOV 2005 2 S CARVEDILOL HYDROBROMIDE?

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:20485 CAPLUS

DOCUMENT NUMBER:

140:82264

TITLE:

Crystalline form of carvedilol hydrobromide for

cardiovascular therapy

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Chen, Pingyun Y.; Dai, Qunying; Dell'orco, Phillip C.;
INVENTOR(S):
                            Hisler, Claire; Igo, David H.; Katrincic, Lee M.;
                            Labaw, Clifford S.; Ping, Li-jen
PATENT ASSIGNEE(S):
                            SB Pharmco Puerto Rico Inc., P. R.
                            PCT Int. Appl., 115 pp.
SOURCE:
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                            KIND
                                    DATE
                                                 APPLICATION NO.
                                                                           DATE
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      WO 2004002472
                             A1
                                    20040108
                                                 WO 2003-US20346
                                                                           20030627
      WO 2004002472
                             Cl
                                    20050224
          W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US,
          UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG,
              KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      CA 2492084
                             AA
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                                              CA 2003-2492084
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      EP 1539140
                             A1
                                    20050615
                                                EP 2003-762148
                                                                           20030627
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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                                    20051110
                                                 JP 2004-517980
                                                                           20030627
      US 2005261355
                             A1
                                    20051124
                                                 US 2004-518206
                                                                           20041216
PRIORITY APPLN. INFO.:
                                                 US 2002-392374P
                                                                        P 20020627
                                                 WO 2003-US20346
                                                                       W 20030627
      The present invention relates to a salt of carvedilol, corresponding
      compns. containing such a carvedilol salt or corresponding solvates thereof,
      and/or methods of using the aforementioned compound(s) in the treatment of
      certain disease states in mammals, in particular man. The present
      invention further relates to a novel crystalline form of carvedilol
     hydrobromide, which is the hydrobromide salt of 1-(carbazol-4-yloxy)-3-[[2-
      (methoxyphenoxy)ethyl]amino]-2-propanol, and/or other carvedilol solvates
      thereof, compns. containing salts or solvates of carvedilol hydrobromide, and
     methods of using the aforementioned compound(s) to treat hypertension,
     congestive heart failure, and angina, etc.
REFERENCE COUNT:
                                  THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
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                                  RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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      (FILE 'HOME' ENTERED AT 15:54:07 ON 29 NOV 2005)
     FILE 'CAPLUS' ENTERED AT 15:54:21 ON 29 NOV 2005
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               2 S CARVEDILOL HYDROBROMIDE?
               0 S CARVEDILOL HYDROBROMIDEMONOHYDRATE
L2
L3
               1 S CARVEDILOL HYDROBROMIDE MONOHYDRATE
               0 S CARVEDILOL HYDROBROMIDE DIOXANE SOLVATE
L4
               0 S CARVEDILOL HYDROBROMIDE DIOXANE 1-PENTANOL
L5
               0 S CARVEDILOL HYDROBROMIDE DIOXANE 2-METHYL-1-PROPANOL SOLVATE
L6
L7
               0 S CARVEDILOL HYDROBROMIDE 2-METHYL-1-PROPANOL SOLVATE
               0 S CARVEDILOL HYDROBROMIDE 1-PENTANOL SOLVATE
L8
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0 S CARVEDILOL HYDROBROMIDE 1-PENTANOL SOLVATE?

L9